ABSTRACT

Methods of modifying polypeptide drugs in order to enhance their transdermal electrotransport flux are provided. The polypeptide is modified by substituting a histidine residue (His) for one or more glutamine (Gln), threonine (Thr) and/or asparagine (Asn) residue(s). The His for Gln substitution is particularly preferred from the standpoint of retaining biological activity of the parent polypeptide. Compositions containing the modified polypeptide, which are useful for transdermal electrotransport delivery, are also provided.